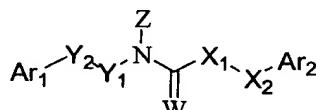


We Claim:

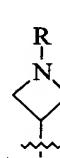
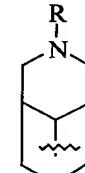
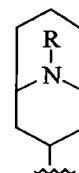
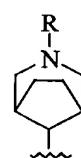
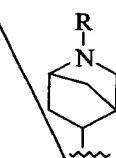
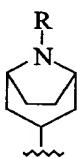
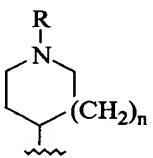
1. A compound of formula (I)



I

Sub
A1

wherein
Z is



10

, , , , , , or

15

in which
R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group;

n is 0, 1, or 2;

X₁ is methylene, vinylene, or an NH or N(lower alkyl) group; and

X₂ is methylene, or, when X₁ is methylene or vinylene, X₂ is methylene or a bond; or when X₁ is methylene, X₂ is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond;

20 or

Y₁ is a bond and Y₂ is vinylene; or

Y₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

25

W is oxygen or sulfur.

2. A compound according to claim 1, wherein

Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or

Y_1 is ethylene and Y_2 is O or S;

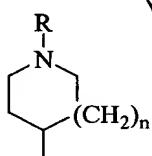
and

X_1 is methylene and X_2 is a bond, methylene, O, or S; or

X_1 is NH or N(lower alkyl) and X_2 is methylene.

5 3. A compound according to claim 2, wherein

z is



and W is oxygen.

4. A compound according to claim 3, wherein

Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

A compound according to claim 4, wherein

R is a hydrogen, a lower alkyl group, a cyclic organyl group, or a substituted or unsubstituted aralkyl or heteroaralkyl group;

n is 1;

15 Y_1 is methylene, Y_2 is a bond, methylene, ethylene, or vinylene;

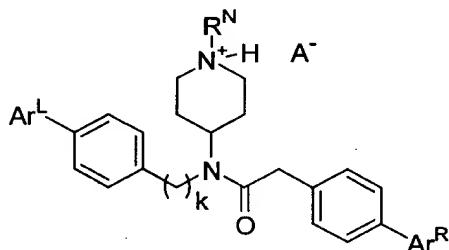
X_1 is methylene and X_2 is a bond, or:

X_1 is NH or N(lower alkyl) and X_2 is methylene; and

Ar_1 and Ar_2 are phenyl groups, independently *p*-substituted with groups

selected from lower alkyl, lower alkoxy and halogen.

20 6. A compound according to claim 1, having a formula (II)



III

wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

Ar^L is selected from lower alkyl, lower alkoxy and halogen

25 Ar^R is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

and A⁻ is a suitable anion.

7. The compound according to claim 1, wherein the compound is selected from the group consisting of:

5 N-(1-(1-methylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

10 N-(1-(2,2-dimethylethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

15 N-(1-pentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

20 N-(1-hexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

25 N-(1-cyclohexylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

30 N-(1-cyclopentylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

35 N-(1-cyclobutylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

40 N-(1-cyclopropylpiperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

45 N-(1-(cyclopentylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

50 N-(1-(cyclobutylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

55 N-(1-(cyclopropylmethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

60 N-(1-(2-hydroxyethyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

65 N-(1-(3-hydroxypropyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-4-methoxyphenylacetamide;

70 N-((4-methylphenyl)methyl)-N-(piperidin-4-yl)-N'-phenylmethylcarbamide;

75 N-((4-methylphenyl)methyl)-N-(1-(2-methylpropyl)piperidin-4-yl)-N'-phenylmethylcarbamide;

80 N-(1-((2-bromophenyl)methyl)piperidin-4-yl)-N-((4-methylphenyl)methyl)-N'-phenylmethylcarbamide;

9-13
A3

5 *N*-(1-((4-hydroxy-3-methoxyphenyl)methyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

10 *N*-(1-((5-ethylthien-2-yl)methyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

15 *N*-(1-(imidazol-2-ylmethyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

20 *N*-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

25 *N*-(1-((4-fluorophenyl)methyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-*N'*-phenylmethylcarbamide;

30 *N*-(4-methylphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

35 *N*-(4-methylphenyl)methyl)-*N*-(1-methylpiperidin-4-yl)-4-methoxyphenylacetamide;

40 *N*-(1-ethylpiperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

45 *N*-(4-methylphenyl)methyl)-*N*-(1-propylpiperidin-4-yl)-4-methoxyphenylacetamide;

50 *N*-(1-butylpiperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

55 *N*-(1-(3,3-dimethylbutyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

60 *N*-(1-(cyclohexylmethyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

65 *N*-(4-methylphenyl)methyl)-*N*-(1-(2-methylpropyl)piperidin-4-yl)-4-methoxyphenylacetamide;

70 *N*-(4-methylphenyl)methyl)-*N*-(1-((4-methylphenyl)methyl)piperidin-4-yl)-4-methoxyphenylacetamide;

75 *N*-(1-((4-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

80 *N*-(1-((2-hydroxyphenyl)methyl)piperidin-4-yl)-*N*-(4-methylphenyl)methyl)-4-methoxyphenylacetamide;

85 *N*-(3-phenylpropyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

90 *N*-(2-phenylethyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

95 *N*-(2-methoxyphenyl)methyl)-*N*-(piperidin-4-yl)-4-methoxyphenylacetamide;

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A3

N-((2-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-((3,4-di-methoxyphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
5 N-((4-fluorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-((2,4-di-chlorophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
10 N-((3-methylphenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-((3-bromophenyl)methyl)-N-(piperidin-4-yl)-4-methoxyphenylacetamide;
N-(1-(phenylmethyl)piperidin-4-yl)-N-(3-phenyl-2-propen-1-yl)-4-methoxyphenylacetamide;
15 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-phenylpropionamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(phenylthio)acetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-phenoxyacetamide;
20 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-(4-chlorophenoxy)acetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-3-methoxyphenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-fluorophenylacetamide;
25 N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-2,5-di-methoxyphenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-piperidin-4-yl)-4-chlorophenylacetamide;
N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-N'-phenylmethylcarbamide;
30 N-((4-methylphenyl)methyl)-N-(1-(phenylmethyl)pyrrolidin-3-yl)-4-methoxyphenylacetamide;
2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(piperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-ethylpiperidin-4-yl) acetamide.
2-(4-methoxyphenyl)-N-(4-chlorbenzyl)-N-(1-isopropylpiperidin-4-yl) acetamide;

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2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(piperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-cyclopentylpiperidin-4-yl) acetamide;
2-(4-methoxyphenyl)-*N*-(4-chlorobenzyl)-*N*-(1-isopropylpiperidin-4-yl) acetamide;
2-(phenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-fluorophenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Methoxyphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Trifluoromethylphenyl)-*N*-(4-trifluoromethylbenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Fluorophenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Methoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(phenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Trifluoromethylphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-trifluoromethylphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-Phenyl-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Chlorophenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;
2-(4-Methoxyphenyl)-*N*-[4-(methoxycarbonyl)benzyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

Sub A3

2-(4 methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(4-chloromethyl-2-thiazolylmethyl) piperidin-4-yl] acetamide;

2-(4 methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3(1,3 dihydro-2H-benzimidazol-2-on-1-yl) propyl] piperidin-4-yl} acetamide;

5 2-(4-methoxyphenyl)-*N*-(2-4(fluorophenyl) ethyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

10 2-(4-methoxyphenyl)-*N*-[2-(2,5-dimethoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

15 2-(4-methoxyphenyl)-*N*-[2-(2,4-dichlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

20 2-(4-methoxyphenyl)-*N*-[2-(3-chlorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

25 2-(4-methoxyphenyl)-*N*-[2-(4-methoxyphenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

30 2-(4-methoxyphenyl)-*N*-[2-(3-fluorophenyl) ethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-[2-(4-fluorophenethyl]-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-ethoxyphenyl)-*N*-(4-fluorobenzyl)-*N*-(1-methylpiperidin-4-yl) acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2-hydroxyethoxy)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-((2-chloro-5-thienyl)methyl) piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-[1-(2-(imidazolidinon-1-yl)ethyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(2,4(1H,3H)quinazolinedion-3-yl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(1,3-dioxolan-2-yl)ethyl]piperidin-4-yl} acetamide;

30 2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[2-(3-indolyl)ethyl] piperidin-4-yl} acetamide;

2-(4-methoxyphenyl)-*N*-(4-methylbenzyl)-*N*-{1-[3-(1,2,4-triazol-1-yl)propyl]piperidin-4-yl} acetamide;

Sub A3

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-benzofurazanyl methyl)piperidin-4-yl] acetamide;

2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-chlorobenzo[b]thien-3-ylmethyl) piperidin-4-yl] acetamide;

5 2-(4-methoxyphenyl)-N-(4-methylbenzyl)-N-[1-(5-phenyl-1,2,4-oxadiazol-3-ylmethyl)piperidin-4-yl] acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-isopropylpiperidin-4-yl)-acetamide;

10 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-ethylpiperidin-4-yl)-acetamide;

2-Phenyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide, 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

15 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclopentylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

20 2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-(2-hydroxyethyl)-piperidin-4-yl)-acetamide;

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;

25 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide, 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(tropin-4-yl)-acetamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

2-Phenyl-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

30 2-(4-Trifluoromethylphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Fluorophenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Methoxyphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

2-(4-Methylphenyl)-N-(4-chlorobenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

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A3*

2-(4-Hydroxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;

5 N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;

10 N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

2-(4-Methoxyphenyl)-2,2-ethylene-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

15 2-(4-Methoxyphenyl)-N-alpha-methylbenzyl-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(3-tropen-4-yl) acetamide;

2-Phenyl-2-ethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

N-Phenethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-amine;

2-(4-Methoxyphenyl)-N-(1-indanyl)-N-(1-methylpiperidin-4-yl) acetamide;

15 N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-(4-methoxybenzyl)-carbamide;

20 2-(3,4-dimethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(3,4-Methylenedioxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

25 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-acetamide;

N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;

N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenethyl-carbamide;

N-(4-Methylbenzyl)-N-(1-t-butylpiperidin-4-yl)-N'-(4-methoxybenzyl)-carbamide;

30 2-(4-Ethoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-i-Propoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

35 2-(4-t-Butoxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

5 2-(4-i-Propoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide; and

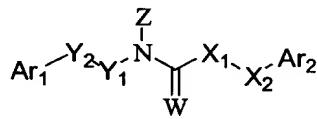
2-(4-t-Butoxyphenyl)-N-(4-fluorobenzyl)-N-(1-methylpiperidin-4-yl) acetamide.

8. A compound of formula (I)

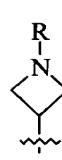
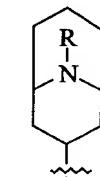
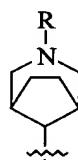
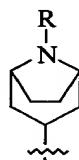
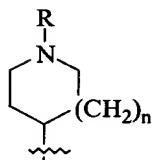
10

wherein

Z is



I



15

in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

n is 0, 1, or 2;

20

X₁ is methylene, vinylene, or an NH or N(lower alkyl) group; and

X₂ is methylene, or, when X₁ is methylene or vinylene, X₂ is methylene or a bond; or when X₁ is methylene, X₂ is O, S, NH, or N(lower alkyl) or a bond;

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond; or

25

Y₁ is a bond and Y₂ is vinylene; or

Y₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

Sub
A3
and

Ar₁ and Ar₂ are different unsubstituted or substituted aryl or heteroaryl groups;

W is oxygen or sulfur.

8 9.

A compound according to claim 8, wherein

5

Y₁ is methylene and Y₂ is a bond, methylene, ethylene, or vinylene; or

Y₁ is ethylene and Y₂ is O or S; and

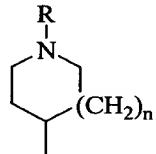
X₁ is methylene and X₂ is a bond, methylene, O, or S; or

X₁ is NH or N(lower alkyl) and X₂ is a methylene.

10. A compound according to claim 9, wherein

10

Z is



and W is oxygen.

11. A compound according to claim 10, wherein

15

Ar₁ and Ar₂ independently are mono- or disubstituted phenyl groups.

12. A compound according to claim 11, wherein

R is a hydrogen, a lower alkyl group, a cyclic organyl group, or an, optionally substituted, alalkyl or heteroaralkyl group;

n is 1;

20

Y₁ is methylene, Y₂ is a bond, methylene, ethylene, or vinylene;

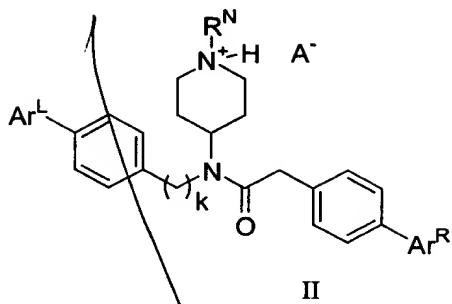
X₁ is methylene and X₂ is a bond, or

X₁ is NH or N(lower alkyl) and X₂ is methylene; and

Ar₁ and Ar₂ are phenyl groups, independently p-substituted with groups selected from alkyl, lower alkoxy and halogen.

25

13. A compound according to claim 7, having a formula (II):



wherein R^N is hydrogen, lower alkyl, aralkyl, or heteroaralkyl;

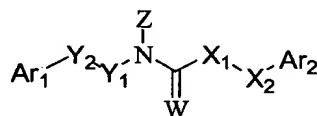
Ar^L is selected from lower alkyl, lower alkoxy and halogen

Ar^R is selected from lower alkyl, lower alkoxy and halogen;

k is 1 or 2

and A^- is a suitable anion.

14. A pharmaceutical composition comprising an effective amount of a compound of formula (I):

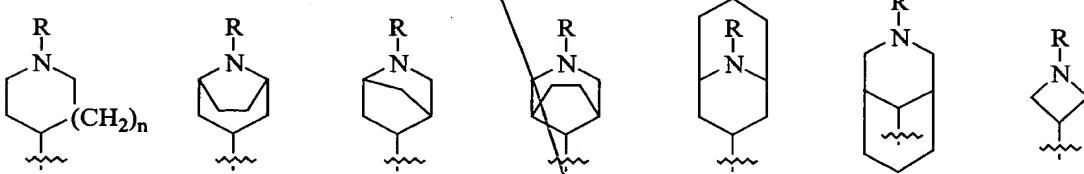


I

10

wherein

Z is



15

, , , , ,

or

in which

R is a hydrogen, a cyclic or straight-chained or branched acyclic organyl group, a lower hydroxyalkyl group, a lower aminoalkyl group, or an aralkyl or heteroaralkyl group; and

20

n is 0, 1, or 2;

X_1 is methylene, vinylene, or an NH or $N(lower\ alkyl)$ group; and

X_2 is methylene, or, when X_1 is methylene or vinylene, X_2 is methylene or a bond; or when X_1 is methylene, X_2 is O , S , NH , or $N(lower\ alkyl)$ or a bond;

SuJ
A4

Y₁ is methylene and Y₂ is methylene, vinylene, ethylene, propylene, or a bond;

or

Y₁ is a bond and Y₂ is vinylene; or

Y₁ is ethylene and Y₂ is O, S, NH, or N(lower alkyl);

5 Ar₁ and Ar₂ independently are unsubstituted or substituted aryl or heteroaryl groups, provided that Ar₁ and Ar₂ are not simultaneously phenyl; and

W is oxygen or sulfur;

or a pharmaceutically acceptable salt, ester or prodrug thereof, and

a pharmaceutically acceptable diluent or excipient.

10 15. A method of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of one or more of the compounds of claim 1 that is effective in inhibiting the activity of the monoamine receptor.

14 16. The method of claim 15 wherein the monoamine receptor is a serotonin receptor.

15 17. The method of claim 16 wherein the serotonin receptor is the 5-HT2A subclass.

16 18. The method of claim 16 wherein the serotonin receptor is in the central nervous system.

17 19. The method of claim 16 wherein the serotonin receptor is in the peripheral nervous system.

18 20. The method of claim 16 wherein the serotonin receptor is in blood cells or platelets.

19 21. The method of claim 16 wherein the serotonin receptor is mutated or modified.

20 22. The method of claim 15 wherein the activity is signaling activity.

21 23. The method of claim 15 wherein the activity is constitutive.

22 24. The method of claim 15 wherein the activity is associated with serotonin receptor activation.

23 25. A method of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an amount of a compound of one or more of the compounds of claim 1 that is effective in inhibiting the activation of the monoamine receptor.

24 26. The method of claim 25 wherein the activation is by an agonistic agent.

21. ²⁵ The method of claim ²⁴ ~~26~~ wherein the agonistic agent is exogenous.

28. ²⁶ The method of claim ²⁴ ~~26~~ wherein the agonistic agent is endogenous.

29. ²⁷ The method of claim ²³ ~~25~~ wherein the activation is constitutive.

30. ²⁸ The method of claim ²³ ~~25~~ wherein the monoamine receptor is a serotonin receptor. ²⁸

31. The method of claim ³⁰ ~~30~~ wherein the serotonin receptor is the 5-HT2A subclass. ²⁸

32. The method of claim ³⁰ ~~30~~ wherein the serotonin receptor is in the central nervous system. ²⁸

10 33. The method of claim ³⁰ ~~30~~ wherein the serotonin receptor is in the peripheral nervous system. ²⁸

34. The method of claim ³⁰ ~~30~~ wherein the serotonin receptor is in blood cells or platelets. ²⁸

35. The method of claim ³⁰ ~~30~~ wherein the serotonin receptor is mutated or modified. ³⁴

36. A method of treating a disease condition associated with a monoamine receptor comprising administering to a subject in need of such treatment a therapeutically effective amount of one or more of the compounds of claim 1. ³⁴

37. The method of claim ³⁶ ~~36~~ wherein the disease condition is selected from the group consisting of schizophrenia, psychosis, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, sleep disorders and appetite disorders. ³⁴

38. The method of claim ³⁶ ~~36~~ wherein the disease condition is associated with dysfunction of a monoamine receptor. ³⁴

25 39. The method of claim ³⁶ ~~36~~ wherein the disease condition is associated with activation of a monoamine receptor. ³⁴

40. The method of claim ³⁶ ~~36~~ wherein the disease condition is associated with increased activity of monoamine receptor. ³⁴

39 41. The method of claim ³⁶ ~~36~~ wherein the monoamine receptor is a serotonin receptor. ³⁹

42. The method of claim ⁴¹ ~~41~~ wherein the serotonin receptor is the 5-HT2A subclass. ³⁹

43. The method of claim ⁴¹ ~~41~~ wherein the serotonin receptor is in the central nervous system.

42 39
44. The method of claim 41 wherein the serotonin receptor is in the peripheral nervous system. 39
45. The method of claim 41 wherein the serotonin receptor is in blood cells or platelets. 39
5 46. The method of claim 41 wherein the serotonin receptor is mutated or modified.
47 48. A method of treating schizophrenia comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
10 49. A method of treating migraine comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
47 49. A method of treating psychosis comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of one or more of the compounds of claim 1.
15 50. A method for identifying a genetic polymorphism predisposing a subject to being responsive to one or more of the compounds of claim 1, comprising: administering to a subject a therapeutically effective amount of the compound; measuring the response of said subject to said compound, thereby identifying a responsive subject having an ameliorated disease condition associated with a monoamine receptor; and identifying a genetic polymorphism in the responsive subject, wherein the genetic polymorphism predisposes a subject to being responsive to the compound.
20 51. The method of claim 50 wherein the ameliorated disease condition is associated with the 5-HT class or 5-HT2A subclass of monoaminergic receptors.
52. A method for identifying a subject suitable for treatment with one or more of the compounds of claim 1, comprising detecting the presence of a polymorphism in a subject wherein the polymorphism predisposes the subject to being responsive to the compound, and wherein the presence of the polymorphism indicates that the subject is suitable for treatment with one or more of the compounds of claim 1.

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